## We claim:

# 1. A compound represented by A:

$$\begin{pmatrix}
CH_{2} \\
N \\
R_{9} \\
R_{4}
\end{pmatrix}_{R}
\begin{pmatrix}
R_{8} \\
C \\
V \\
R_{9}
\end{pmatrix}_{R}
\begin{pmatrix}
C \\
R_{1} \\
A
\end{pmatrix}$$

#### wherein

X represents  $C(R_3)_2$ , O, S, SO, SO<sub>2</sub>, NR<sub>2</sub>, NC(O)R<sub>1</sub>, NC(O)OR<sub>2</sub>, NS(O)<sub>2</sub>R<sub>1</sub>, or C=O;

Z represents N or CR;

m is 0, 1, 2, 3 or 4;

n is 1 or 2;

p is 1, 2, or 3;

y is 0, 1, or 2;

R represents H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R<sub>1</sub> represents NR<sub>2</sub>, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R and R<sub>1</sub> may be connected through a covalent bond;

R<sub>2</sub> represents independently for each occurrence H, alkyl, fluoroalkyl, aryl, heteroaryl, or cycloalkyl;

R<sub>3</sub> represents independently for each occurrence H, alkyl, aryl, OR<sub>2</sub>, OC(O)R<sub>2</sub>, CH<sub>2</sub>OR<sub>2</sub>, or CO<sub>2</sub>R<sub>2</sub>; wherein any two instances of R<sub>3</sub> may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4 carbon atoms;

R<sub>4</sub> represents independently for each occurrence H, alkyl, aryl, heteroaryl, alkenyl, or cycloalkyl;

 $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_5R_6$  taken together is C(O);

 $R_8$  and  $R_9$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_8R_9$  taken together is C(O);

Y represents independently for each occurrence  $OR_2$ ,  $N(R_2)_2$ ,  $SR_2$ ,  $S(O)R_2$ ,  $S(O)_2R_2$ , or  $P(O)(OR_2)_2$ ;

any two instances of R<sub>2</sub> may be connected through a covalent bond;
a covalent bond may connect R<sub>4</sub> and an instance of R<sub>5</sub> or R<sub>6</sub>;
any two instances of R<sub>5</sub> and R<sub>6</sub> may be connected through a covalent bond;
any two geminal or vicinal instances of R<sub>8</sub> and R<sub>9</sub> may be connected through a covalent bond; and

the stereochemical configuration at any stereocenter of a compound represented by A is R, S, or a mixture of these configurations.

- 2. The compound of claim 1, wherein X is  $C(R_3)_2$ , O, or  $NR_2$ .
- 3. The compound of claim 1, wherein X is  $C(R_3)_2$ .
- 4. The compound of claim 1, wherein m is 2.
- 5. The compound of claim 1, wherein n is 1.
- 6. The compound of claim 1, wherein y is 1.
- 7. The compound of claim 1, wherein R represents aryl or heteroaryl.
- 8. The compound of claim 1, wherein  $R_1$  represents alkyl or aryl.
- 9. The compound of claim 1, wherein R<sub>3</sub> represents independently for each occurrence H or alkyl.
- 10. The compound of claim 1, wherein R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl.
- 11. The compound of claim 1, wherein R<sub>5</sub> and R<sub>6</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 12. The compound of claim 1, wherein R<sub>8</sub> and R<sub>9</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 13. The compound of claim 1, wherein X is  $C(R_3)_2$ ; and m is 2.

- 14. The compound of claim 1, wherein X is  $C(R_3)_2$ ; and n is 1.
- 15. The compound of claim 1, wherein X is  $C(R_3)_2$ ; and y is 1.
- 16. The compound of claim 1, wherein X is  $C(R_3)_2$ ; m is 2; n is 1; and y is 1.
- 17. The compound of claim 1, wherein X is  $C(R_3)_2$ ; m is 2; n is 1; y is 1; and R is aryl or heteroaryl.
- 18. The compound of claim 1, wherein X is  $C(R_3)_2$ ; m is 2; n is 1; y is 1; R is aryl or heteroaryl; and  $R_1$  represents alkyl or aryl.
- 19. The compound of claim 1, wherein X is  $C(R_3)_2$ ; m is 2; n is 1; y is 1; R is aryl or heteroaryl;  $R_1$  represents alkyl or aryl; and  $R_3$  represents independently for each occurrence H or alkyl.
- 20. The compound of claim 1, wherein X is  $C(R_3)_2$ ; m is 2; n is 1; y is 1; R is aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl; and  $R_4$  represents cycloalkyl, aryl, or heteroaryl.
- 21. The compound of claim 1, wherein X is  $C(R_3)_2$ ; m is 2; n is 1; y is 1; R is aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl;  $R_4$  represents cycloalkyl, aryl, or heteroaryl; and  $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F.
- 22. The compound of claim 1, wherein X is  $C(R_3)_2$ ; m is 2; n is 1; y is 1; R is aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl;  $R_4$  represents cycloalkyl, aryl, or heteroaryl;  $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F; and  $R_8$  and  $R_9$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F.
- 23. A compound represented by **B**:

$$\begin{pmatrix}
R_9R_8C \\
y \\
R_1
\end{pmatrix} = 0$$

$$\begin{pmatrix}
R_9R_8C \\
y \\
R_1
\end{pmatrix} = 0$$

$$\begin{pmatrix}
CR_5R_6 \\
m
\end{pmatrix} = 0$$

## wherein

Z represents N or CR;

m is 0, 1, 2, 3 or 4;

p is 1, 2, or 3;

y is 0, 1 or 2;

R represents H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R<sub>1</sub> represents NR<sub>2</sub>, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R and R<sub>1</sub> may be connected through a covalent bond;

R<sub>2</sub> represents independently for each occurrence H, alkyl, fluoroalkyl, aryl, heteroaryl, or cycloalkyl;

R<sub>3</sub> represents independently for each occurrence H, alkyl, aryl, OR<sub>2</sub>, OC(O)R<sub>2</sub>, CH<sub>2</sub>OR<sub>2</sub>, or CO<sub>2</sub>R<sub>2</sub>;

R<sub>4</sub> represents H, alkyl, aryl, heteroaryl, alkenyl, or cycloalkyl;

 $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_5R_6$  taken together is C(O);

 $R_8$  and  $R_9$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_8R_9$  taken together is C(O);

Y represents independently for each occurrence  $OR_2$ ,  $N(R_2)_2$ ,  $SR_2$ ,  $S(O)R_2$ ,  $S(O)_2R_2$ , or  $P(O)(OR_2)_2$ ;

any two instances of R<sub>2</sub> may be connected through a covalent bond;
a covalent bond may connect R<sub>4</sub> and an instance of R<sub>5</sub> or R<sub>6</sub>;
any two instances of R<sub>5</sub> and R<sub>6</sub> may be connected through a covalent bond;
any two geminal or vicinal instances of R<sub>8</sub> and R<sub>9</sub> may be connected through a covalent bond; and

the stereochemical configuration at any stereocenter of a compound represented by  $\mathbf{B}$  is R, S, or a mixture of these configurations.

- 24. The compound of claim 23, wherein m is 2.
- 25. The compound of claim 23, wherein y is 1.
- 26. The compound of claim 23, wherein R represents aryl or heteroaryl.
- 27. The compound of claim 23, wherein  $R_1$  represents alkyl or aryl.
- 28. The compound of claim 23, wherein R<sub>3</sub> represents independently for each occurrence H or alkyl.
- 29. The compound of claim 23, wherein R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl.
- 30. The compound of claim 23, wherein R<sub>5</sub> and R<sub>6</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 31. The compound of claim 23, wherein R<sub>8</sub> and R<sub>9</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 32. The compound of claim 23, wherein m is 2; and y is 1.
- 33. The compound of claim 23, wherein m is 2; y is 1; and R represents aryl or heteroaryl.
- 34. The compound of claim 23, wherein m is 2; y is 1; R represents aryl or heteroaryl; and  $R_1$  represents alkyl or aryl.
- 35. The compound of claim 23, wherein m is 2; y is 1; R represents aryl or heteroaryl; R<sub>1</sub> represents alkyl or aryl; and R<sub>3</sub> represents independently for each occurrence H or alkyl.

- 36. The compound of claim 23, wherein m is 2; y is 1; R represents aryl or heteroaryl; R<sub>1</sub> represents alkyl or aryl; R<sub>3</sub> represents independently for each occurrence H or alkyl; and R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl.
- 37. The compound of claim 23, wherein m is 2; y is 1; R represents aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl;  $R_4$  represents cycloalkyl, aryl, or heteroaryl; and  $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F.
- 38. The compound of claim 23, wherein m is 2; y is 1; R represents aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl;  $R_4$  represents cycloalkyl, aryl, or heteroaryl;  $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F; and  $R_8$  and  $R_9$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F.

## 39. A compound represented by C:

$$(R_9R_8C)_y$$
 $R_1$ 
 $(CR_5R_6)_m$ 
 $R_4$ 

wherein

Z represents N or CR;

m is 0, 1, 2, 3 or 4;

p is 1, 2, or 3;

y is 0, 1 or 2;

R represents H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R<sub>1</sub> represents NR<sub>2</sub>, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R and R<sub>1</sub> may be connected through a covalent bond;

R<sub>2</sub> represents independently for each occurrence H, alkyl, fluoroalkyl, aryl, heteroaryl, or cycloalkyl;

R<sub>3</sub> represents independently for each occurrence H, alkyl, aryl, OR<sub>2</sub>, OC(O)R<sub>2</sub>, CH<sub>2</sub>OR<sub>2</sub>, or CO<sub>2</sub>R<sub>2</sub>;

R<sub>4</sub> represents H, alkyl, aryl, heteroaryl, alkenyl, or cycloalkyl;

 $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_5R_6$  taken together is C(O);

 $R_8$  and  $R_9$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_8R_9$  taken together is C(O);

Y represents independently for each occurrence  $OR_2$ ,  $N(R_2)_2$ ,  $SR_2$ ,  $S(O)R_2$ ,  $S(O)_2R_2$ , or  $P(O)(OR_2)_2$ ;

any two instances of  $R_2$  may be connected through a covalent bond; a covalent bond may connect  $R_4$  and an instance of  $R_5$  or  $R_6$ ; any two instances of  $R_5$  and  $R_6$  may be connected through a covalent bond; any two geminal or vicinal instances of  $R_8$  and  $R_9$  may be connected through a covalent

any two geminal or vicinal instances of R<sub>8</sub> and R<sub>9</sub> may be connected through a covalent bond; and

the stereochemical configuration at any stereocenter of a compound represented by C is R or S, or a mixture of these configurations.

- 40. The compound of claim 39, wherein m is 2.
- 41. The compound of claim 39, wherein y is 1.
- 42. The compound of claim 39, wherein R represents aryl or heteroaryl.
- 43. The compound of claim 39, wherein R<sub>1</sub> represents alkyl or aryl.
- 44. The compound of claim 39, wherein R<sub>3</sub> represents independently for each occurrence H or alkyl.
- 45. The compound of claim 39, wherein R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl.

- 46. The compound of claim 39, wherein R<sub>5</sub> and R<sub>6</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 47. The compound of claim 39, wherein R<sub>8</sub> and R<sub>9</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 48. The compound of claim 39, wherein m is 2; and y is 1.
- 49. The compound of claim 39, wherein m is 2; y is 1; and R represents aryl or heteroaryl.
- 50. The compound of claim 39, wherein m is 2; y is 1; R represents aryl or heteroaryl; and R<sub>1</sub> represents alkyl or aryl.
- 51. The compound of claim 39, wherein m is 2; y is 1; R represents aryl or heteroaryl;  $R_1$  represents alkyl or aryl; and  $R_3$  represents independently for each occurrence H or alkyl.
- 52. The compound of claim 39, wherein m is 2; y is 1; R represents aryl or heteroaryl; R<sub>1</sub> represents alkyl or aryl; R<sub>3</sub> represents independently for each occurrence H or alkyl; and R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl.
- 53. The compound of claim 39, wherein m is 2; y is 1; R represents aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl;  $R_4$  represents cycloalkyl, aryl, or heteroaryl; and  $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F.
- 54. The compound of claim 39, wherein m is 2; y is 1; R represents aryl or heteroaryl; R<sub>1</sub> represents alkyl or aryl; R<sub>3</sub> represents independently for each occurrence H or alkyl; R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl; R<sub>5</sub> and R<sub>6</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F; and R<sub>8</sub> and R<sub>9</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 55. A compound represented by **D**:

$$\begin{array}{c|c}
X & R_{3} \\
R_{3} & C \\
R_{9} & R_{1}
\end{array}$$

$$\begin{array}{c|c}
R_{9} & R_{1} \\
C & R_{5} & R_{6} \\
R_{4} & R_{4}
\end{array}$$

$$\begin{array}{c|c}
D$$

wherein

X represents  $C(R_3)_2$ , O, S, SO, SO<sub>2</sub>, NR<sub>2</sub>, NC(O)R<sub>1</sub>, NC(O)OR<sub>2</sub>, NS(O)<sub>2</sub>R<sub>1</sub>, or C=O;

Z represents N or CR;

m is 0, 1, 2, 3 or 4;

p is 1, 2, or 3;

y is 0, 1, or 2;

R represents H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R<sub>1</sub> represents NR<sub>2</sub>, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl;

R and R<sub>1</sub> may be connected through a covalent bond;

R<sub>2</sub> represents independently for each occurrence H, alkyl, fluoroalkyl, aryl, heteroaryl, or cycloalkyl;

 $R_3$  represents independently for each occurrence H, alkyl, aryl,  $OR_2$ ,  $OC(O)R_2$ ,  $CH_2OR_2$ , or  $CO_2R_2$ ;

R<sub>4</sub> represents independently for each occurrence H, alkyl, aryl, heteroaryl, alkenyl, or cycloalkyl;

 $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_5R_6$  taken together is C(O);

 $R_8$  and  $R_9$  are selected independently for each occurrence from the group consisting of H, alkyl,  $(CH_2)_pY$ , aryl, heteroaryl, F,  $OR_2$ , and  $OC(O)R_2$ ; or an instance of  $CR_8R_9$  taken together is C(O);

Y represents independently for each occurrence  $OR_2$ ,  $N(R_2)_2$ ,  $SR_2$ ,  $S(O)R_2$ ,  $S(O)_2R_2$ , or  $P(O)(OR_2)_2$ ;

any two instances of R<sub>2</sub> may be connected through a covalent bond;
a covalent bond may connect R<sub>4</sub> and an instance of R<sub>5</sub> or R<sub>6</sub>;
any two instances of R<sub>5</sub> and R<sub>6</sub> may be connected through a covalent bond;
any two geminal or vicinal instances of R<sub>8</sub> and R<sub>9</sub> may be connected through a covalent bond; and

the stereochemical configuration at any stereocenter of a compound represented by  $\mathbf{D}$  is R, S, or a mixture of these configurations.

- 56. The compound of claim 55, wherein X is O or NR<sub>2</sub>.
- 57. The compound of claim 55, wherein m is 2.
- 58. The compound of claim 55, wherein y is 1.
- 59. The compound of claim 55, wherein R represents aryl or heteroaryl.
- 60. The compound of claim 55, wherein  $R_1$  represents alkyl or aryl.
- 61. The compound of claim 55, wherein R<sub>3</sub> represents independently for each occurrence H or alkyl.
- 62. The compound of claim 55, wherein R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl.
- 63. The compound of claim 55, wherein  $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F.
- 64. The compound of claim 55, wherein R<sub>8</sub> and R<sub>9</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 65. The compound of claim 55, wherein X is O or  $NR_2$ ; and m is 2.
- 66. The compound of claim 55, wherein X is O or NR<sub>2</sub>; and y is 1.
- 67. The compound of claim 55, wherein X is O or NR<sub>2</sub>; m is 2; and y is 1.
- 68. The compound of claim 55, wherein X is O or NR<sub>2</sub>; m is 2; y is 1; and R represents aryl or heteroaryl.

- 69. The compound of claim 55, wherein X is O or  $NR_2$ ; m is 2; y is 1; R represents aryl or heteroaryl; and  $R_1$  represents alkyl or aryl.
- 70. The compound of claim 55, wherein X is O or NR<sub>2</sub>; m is 2; y is 1; R represents aryl or heteroaryl; R<sub>1</sub> represents alkyl or aryl; and R<sub>3</sub> represents independently for each occurrence H or alkyl.
- 71. The compound of claim 55, wherein X is O or  $NR_2$ ; m is 2; y is 1; R represents aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl; and  $R_4$  represents cycloalkyl, aryl, or heteroaryl.
- 72. The compound of claim 55, wherein X is O or  $NR_2$ ; m is 2; y is 1; R represents aryl or heteroaryl;  $R_1$  represents alkyl or aryl;  $R_3$  represents independently for each occurrence H or alkyl;  $R_4$  represents cycloalkyl, aryl, or heteroaryl; and  $R_5$  and  $R_6$  are selected independently for each occurrence from the group consisting of H, alkyl,  $OR_2$ , aryl, heteroaryl, and F.
- 73. The compound of claim 55, wherein X is O or NR<sub>2</sub>; m is 2; y is 1; R represents aryl or heteroaryl; R<sub>1</sub> represents alkyl or aryl; R<sub>3</sub> represents independently for each occurrence H or alkyl; R<sub>4</sub> represents cycloalkyl, aryl, or heteroaryl; R<sub>5</sub> and R<sub>6</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F; and R<sub>8</sub> and R<sub>9</sub> are selected independently for each occurrence from the group consisting of H, alkyl, OR<sub>2</sub>, aryl, heteroaryl, and F.
- 74. The compound of claim 1, 23, 39, or 55, wherein said compound is a single stereoisomer.
- 75. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC<sub>50</sub> less than 1  $\mu$ M in an assay based on a mammalian GPCR or ligand-gated ion channel.
- 76. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC<sub>50</sub> less than 100 nM in an assay based on a mammalian GPCR or ligand-gated ion channel.
- 77. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC<sub>50</sub> less than 10 nM in an assay based on a mammalian GPCR or ligand-gated ion channel.
- 78. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC<sub>50</sub> less than 1  $\mu$ M in an assay based on a mammalian GPCR.

79. The compound of claim 41, wherein said mammalian GPCR is an NMDA receptor, a norepinephrine transporter or a sigma receptor.

- 80. The compound of claim 1, 12, 20, or 28, wherein said compound has an  $IC_{50}$  less than 100 nM in an assay based on a mammalian GPCR.
- 81. The compound of claim 80, wherein said mammalian GPCR is an NMDA receptor, a norepinephrine transporter or a sigma receptor.
- 82. The compound of claim 1, 23, 39, or 55, wherein said compound has an  $IC_{50}$  less than 10 nM in an assay based on a mammalian GPCR.
- 83. The compound of claim 82, wherein said mammalian GPCR is an NMDA receptor, a norepinephrine transporter or a sigma receptor.
- 84. A formulation, comprising a compound of claim 1, 23, 39, or 55; and a pharmaceutically acceptable excipient.
- 85. A method of treating an acute or chronic ailment, disease or malady in a mammal that is due to an abnormality in a biochemical or physiological process associated with a G-protein-coupled receptor or ligand-gated ion channel, comprising the step of administering to said mammal a therapeutically effective amount of a compound of claim 1, 23, 39, or 55.
- 86. The method of claim 85, wherein said mammal is a primate, equine, canine or feline.
- 87. The method of claim 85, wherein said mammal is a human.
- 88. The method of claim 85, wherein said compound is administered orally.
- 89. The method of claim 85, wherein said compound is administered intravenously.
- 90. The method of claim 85, wherein said compound is administered sublingually.
- 91. The method of claim 85, wherein said compound is administered ocularly.
- 92. The method of claim 85, wherein said compound is administered transdermally.
- 93. The method of claim 85, wherein said compound is administered rectally.
- 94. The method of claim 85, wherein said compound is administered vaginally.
- 95. The method of claim 85, wherein said compound is administered nasally.

- 96. A method of treating a psychiatric disorder in a mammal, comprising the step of:

  administering to said mammal a therapeutically effective amount of a compound of claim 1, 23, 39, or 55.
- 97. The method of claim 96, wherein said psychiatric disorder is a psychosis.
- 98. The method of claim 96, wherein said psychiatric disorder is schizophrenia.
- 99. The method of claim 96, wherein said psychiatric disorder is paranoia, manic depression, or depression.
- 100. The method of claim 96, wherein said mammal is a primate, equine, canine or feline.
- 101. The method of claim 96, wherein said mammal is a human.
- 102. The method of claim 96, wherein said compound is administered orally.
- 103. The method of claim 96, wherein said compound is administered intravenously.
- 104. The method of claim 96, wherein said compound is administered sublingually.
- 105. The method of claim 96, wherein said compound is administered ocularly.
- 106. The method of claim 96, wherein said compound is administered transdermally.
- 107. The method of claim 96, wherein said compound is administered rectally.
- 108. The method of claim 96, wherein said compound is administered vaginally.
- 109. The method of claim 96, wherein said compound is administered nasally.
- 110. A method of treating a mammal suffering from an anxiety disorder, a dissociative disorder, a mood disorder, a personality disorder, a psychosexual disorder, an eating disorder, drug addiction, drug dependence, depression, manic depression, paranoia, psychosis, schizophrenia, or inflammatory pain, comprising the step of:

administering to said mammal a therapeutically effective amount of a compound of claim 1, 23, 39, or 55.

- 111. The method of claim 110, wherein said mammal is a primate, equine, canine or feline.
- 112. The method of claim 110, wherein said mammal is a human.
- 113. The method of claim 110, wherein said compound is administered orally.

- 114. The method of claim 110, wherein said compound is administered intravenously.
- 115. The method of claim 110, wherein said compound is administered sublingually.
- 116. The method of claim 110, wherein said compound is administered ocularly.
- 117. The method of claim 110, wherein said compound is administered transdermally.
- 118. The method of claim 110, wherein said compound is administered rectally.
- 119. The method of claim 110, wherein said compound is administered vaginally.
- 120. The method of claim 110, wherein said compound is administered nasally.